

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization International Bureau



(43) International Publication Date
24 March 2005 (24.03.2005)

PCT

(10) International Publication Number
WO 2005/026208 A2

(51) International Patent Classification⁷: C07K 14/705, G01N 33/94, C12N 5/10, C07D 471/00, 513/00

TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(21) International Application Number:
PCT/EP2004/052029

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

(22) International Filing Date:
3 September 2004 (03.09.2004)

Declarations under Rule 4.17:

(25) Filing Language: English
(26) Publication Language: English
(30) Priority Data:
PCT/EP03/10263
12 September 2003 (12.09.2003) EP

- as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii)) for the following designations AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG)

(71) Applicant (for all designated States except US): JANSSEN PHARMACEUTICA N.V. [BE/BE]; Turnhoutseweg 30, B-2340 Beerse (BE).
(72) Inventors; and
(75) Inventors/Applicants (for US only): SMANS, Karine, Alfonsine, Astrid [BE/BE]; Janssen Pharmaceutica N.V., Turnhoutseweg 30, B-2340 Beerse (BE). GIJSEN, Henricus, Jacobus, Maria [NL/NL]; Janssen Pharmaceutica N.V., Turnhoutseweg 30, B-2340 Beerse (BE).
(74) Common Representative: JANSSEN PHARMACEUTICA N.V.; Turnhoutseweg 30, B-2340 Beerse (BE).

- as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii)) for all designations of inventorship (Rule 4.17(iv)) for US only

Published:

- without international search report and to be republished upon receipt of that report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: CHIMERIC GABAB RECEPTOR

(57) Abstract: The present invention provides an isolated GABA_B receptor protein comprising at least one GABA_BR1 a subunit and at least one GABA_BR2a subunit, characterized in that said GABA_B receptor has one high affinity agonist binding site and one low affinity agonist binding site. In particular the isolated recombinant GABA_B receptor protein expressed by the hGABA_BR1a/GABA_BR2 CHO cell line deposited at the Belgian Coordinated Collections of Microorganisms (BCCM) as CHO-K1 h-GABA-b R1a/R2 clone on August 22, 2003 with the accession number LMBP 6046CB. It is thus an object of the present invention to provide the hGABA_BR1a/GABA_BR2 CHO cell line deposited at the Belgian Coordinated Collections of Microorganisms (BCCM) as CHO-K1 h-GABA-b R1a/R2 clone on August 22, 2003 with the accession number LMBP 6046CB. 20 The invention also provides the use of the aforementioned cell line in a method to identify GABA_B receptor agonists using a functional or a binding assay. In particular in a radioligand-binding assay comprising the use of radiolabeled agonists such as for example ³H-GABA or ³H-baclofen. In a particular embodiment the present invention provides the use of the aforementioned GABA_B receptor in a method to identify a high affinity GABA_B receptor agonist using a functional or a binding assay. In particular in a radioligand-binding assay comprising the use of radiolabeled agonists such as for example ³H-GABA or ³H-baclofen. Alternatively, the aforementioned binding assays are performed on cellular extracts, in particular cellular membrane preparations of the aforementioned cells.

WO 2005/026208 A2